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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS 4	AUG 28	ADISCTI Reloaded and Enhanced
NEWS 5	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes
NEWS 6	SEP 21	CA/CAplus fields enhanced with simultaneous left and right truncation
NEWS 7	SEP 25	CA(SM)/CAplus(SM) display of CA Lexicon enhanced
NEWS 8	SEP 25	CAS REGISTRY(SM) no longer includes Concord 3D coordinates
NEWS 9	SEP 25	CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
NEWS 10	SEP 28	CEABA-VTB classification code fields reloaded with new classification scheme
NEWS 11	OCT 19	LOGOFF HOLD duration extended to 120 minutes
NEWS 12	OCT 19	E-mail format enhanced
NEWS 13	OCT 23	Option to turn off MARPAT highlighting enhancements available
NEWS 14	OCT 23	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 15	OCT 23	The Derwent World Patents Index suite of databases on STN has been enhanced and reloaded
NEWS 16	OCT 30	CHEMLIST enhanced with new search and display field
NEWS 17	NOV 03	JAPIO enhanced with IPC 8 features and functionality
NEWS 18	NOV 10	CA/CAplus F-Term thesaurus enhanced
NEWS 19	NOV 10	STN Express with Discover! free maintenance release Version 8.01c now available
NEWS 20	NOV 20	CAS Registry Number crossover limit increased to 300,000 in additional databases
NEWS 21	NOV 20	CA/CAplus to MARPAT accession number crossover limit increased to 50,000
NEWS 22	DEC 01	CAS REGISTRY updated with new ambiguity codes
NEWS 23	DEC 11	CAS REGISTRY chemical nomenclature enhanced
NEWS 24	DEC 14	WPIDS/WPINDEX/WPIX manual codes updated
NEWS 25	DEC 14	GBFULL and FRFULL enhanced with IPC 8 features and functionality
NEWS 26	DEC 18	CA/CAplus pre-1967 chemical substance index entries enhanced with preparation role
NEWS 27	DEC 18	CA/CAplus patent kind codes updated
NEWS 28	DEC 18	MARPAT to CA/CAplus accession number crossover limit increased to 50,000
NEWS 29	DEC 18	MEDLINE updated in preparation for 2007 reload
NEWS 30	DEC 27	CA/CAplus enhanced with more pre-1907 records

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

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FILE 'HOME' ENTERED AT 11:06:18 ON 27 DEC 2006

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:06:29 ON 27 DEC 2006
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STRUCTURE FILE UPDATES: 26 DEC 2006 HIGHEST RN 916310-60-6
DICTIONARY FILE UPDATES: 26 DEC 2006 HIGHEST RN 916310-60-6

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

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http://www.cas.org/ONLINE/UG/regprops.html

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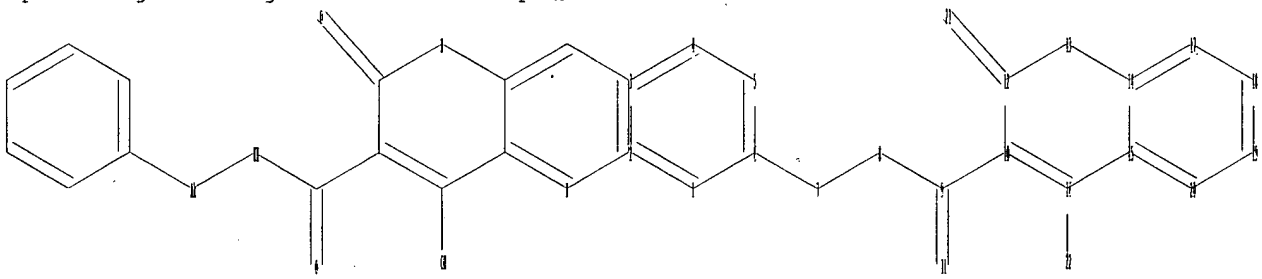
Uploading C:\Program Files\Stnexp\Queries\10500972s.str



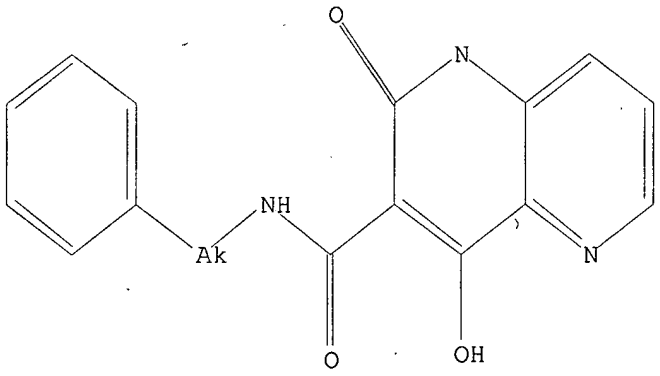
chain nodes :
7 8 9 11 21 22
ring nodes :
1 2 3 4 5 6 10 12 13 14 15 16 17 18 19 20
chain bonds :
6-7 7-8 8-9 9-10 9-11 12-21 16-22

ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-12 10-16 12-13 13-14 14-15 14-17 15-16
15-20 17-18 18-19 19-20
exact/norm bonds :
6-7 7-8 8-9 9-11 10-12 10-16 12-13 12-21 13-14 15-16 16-22
exact bonds :
9-10
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 14-15 14-17 15-20 17-18 18-19 19-20

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom
11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:CLASS 22:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 11:06:42 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 40 TO ITERATE

100.0% PROCESSED 40 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 421 TO 1179
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 11:06:45 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1160 TO ITERATE

100.0% PROCESSED 1160 ITERATIONS 39 ANSWERS
SEARCH TIME: 00.00.01

L3 39 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	166.94	167.15

FILE 'CAPLUS' ENTERED AT 11:06:47 ON 27 DEC 2006
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FILE COVERS 1907 - 27 Dec 2006 VOL 146 ISS 1
FILE LAST UPDATED: 26 Dec 2006 (20061226/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l3
L4 3 L3

=> d ibib abs hitstr tot

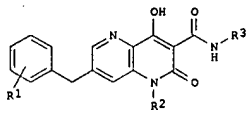
L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:902736 CAPLUS
DOCUMENT NUMBER: 143:248371
TITLE: Preparation of naphthyridinecarboxamides as HIV integrase inhibitors
INVENTOR(S): Johns, Brian Alvin; Boros, Eric Eugene; Kawasuji, Takashi; Koble, Cecilia S.; Kurose, Noriyuki; Murai, Hitoshi; Sherrill, Ronald George; Weatherhead, Jason Gordon
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA; Shionogi & Co., Ltd
SOURCE: PCT Int. Appl., 447 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005077050	A2	20050825	WO 2005-US4085	20050210
WO 2005077050	A3	20061123		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005211733	A1	20050825	AU 2005-211733	20050210
CA 2555176	A1	20050825	CA 2005-2555176	20050210
EP 1720856	A2	20061115	EP 2005-726489	20050210
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT; LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
NO 2006003958	A	20060922	NO 2006-3958	20060905
PRIORITY APPLN. INFO.:			US 2004-543670P	P 20040211
			WO 2005-US4085	W 20050210

OTHER SOURCE(S): MARPAT 143:248371
GI

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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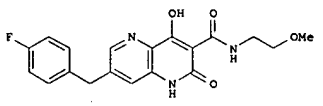
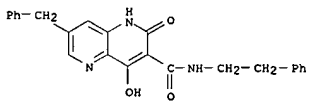


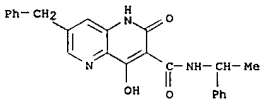
II

AB The title compds. I [R1 = H, OH, CN, etc.; R2 = H, alkyl, haloalkyl, etc.;
R3 = H, OH, alkyl, etc.; and their pharmaceutically acceptable salts] that
are HIV integrase inhibitors and therefore are useful in the inhibition of
HIV replication, the prevention and/or treatment of infection by HIV, and in the treatment of AIDS and/or ARC, were prepared E.g., a multi-step synthesis of II, starting from 1-fluoro-4-iodobenzene and allyl alc., was given. The compds. I exhibited anti-HIV activity in two biol. assays in the range IC50 = 1-1000 nM. For example, II showed IC50 of < 10 nM in MT4
cell assay. The pharmaceutical compns. comprising the compound I alone or
in combination with other therapeutic agent, were disclosed.
IT 863432-72-8P 863432-73-9P 863438-97-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of naphthyridinecarboxamides as HIV integrase inhibitors)
RN 863432-72-8 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, 1,2-dihydro-4-hydroxy-2-oxo-N-(2-phenylethyl)-7-(phenylmethyl)- (9CI) (CA INDEX NAME)

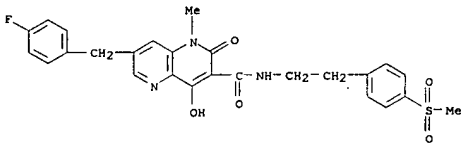


RN 863432-73-9 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, 1,2-dihydro-4-hydroxy-2-oxo-N-(1-phenylethyl)-7-(phenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 863438-97-5 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, 7-[(4-fluorophenyl)methyl]-1,2-dihydro-4-hydroxy-1-methyl-N-[2-[4-(methylsulfonyl)phenyl]ethyl]-2-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:252486 CAPLUS
DOCUMENT NUMBER: 140:287278
TITLE: Preparation of quinoline and naphthyridine derivatives as HIV integrase inhibitors
INVENTOR(S): Murai, Hitoshi; Endo, Takeshi; Kurose, Noriyuki; Taishi, Teruhiko; Yoshida, Hiroshi
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 396 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024693	A1	20040325	WO 2003-JP10212	20030811
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003257822	A1	20040430	AU 2003-257822	20030811
EP 1541558	A1	20050615	EP 2003-795216	20030811
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006128669	A1	20060615	US 2005-524281	20050210
US 2006247212	A1	20061102	US 2006-478218	20060630
PRIORITY APPLN. INFO.:			JP 2002-235582	A 20020813
			JP 2002-245772	A 20020826
			JP 2003-121726	A 20030425
			JP 2003-270863	A 20030704
			WO 2003-JP10212	W 20030811
			US 2005-524281	A3 20050210

OTHER SOURCE(S): MARPAT 140:287278
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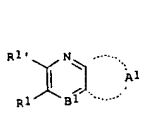
L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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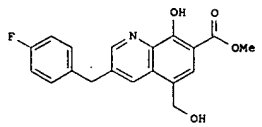


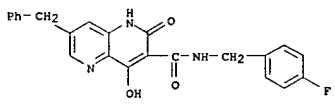
II

AB The title compds. I [wherein B1 = N or (un)substituted CH; R1 = H, (un)substituted alkyl, alkenyl, etc.; R1' = H, halo, NO2, OH, CO2H, (un)substituted alkoxycarbonyl, alkyl, alkoxy, etc.; A1 = (un)substituted -CH=CH-CH=CH-, -CH=CH-CH=N-, -CH=CH-N=CH-, -CH=CH-O-CH2-, -CH=CH-CH2-O-, or -CH=CH-O-] or prodrugs, solvates, or pharmaceutically acceptable salts thereof are prepared as HIV integrase inhibitors. For example, the compound II was prepared in a multi-step synthesis. II showed inhibitory activity with IC50 of 0.071 μg/mL against integrase. Formulations containing I as an active ingredient were also described.

IT 675614-22-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinoline and naphthyridine derivs. as HIV integrase inhibitors)

RN 675614-22-9 CAPLUS

CN 1,5-Naphthyridine-3-carboxamide, N-[(4-fluorophenyl)methyl]-1,2-dihydro-4-hydroxy-2-oxo-7-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

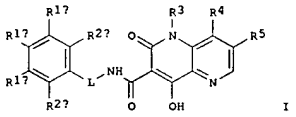
L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:591151 CAPLUS
DOCUMENT NUMBER: 139:133554
TITLE: Preparation of hydroxynaphthyridinone carboxamides useful as HIV integrase inhibitors
INVENTOR(S): Egbertson, Melissa; Melamed, Jeffrey Y.; Langford, H. Marie; Young, Steven D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062204	A1	20030731	WO 2003-US813	20030113
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2472372	A1	20030731	CA 2003-2472372	20030113
EP 1467970	A1	20041020	EP 2003-731906	20030113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005119482	A1	20050602	US 2003-500972	20030113
JP 2005519907	T	20050707	JP 2003-562086	20030113
PRIORITY APPLN. INFO.:			US 2002-349775P	P 20020117
			WO 2003-US813	W 20030113

OTHER SOURCE(S): MARPAT 139:133554

GI



I

AB Hydroxynaphthyridinone carboxamides (shown as I; variables defined below; e.g. N-(4-fluorobenzyl)-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide) are described as inhibitors of HIV integrase and inhibitors of HIV replication. These compds. are useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS. The compds. were employed against HIV infection and AIDS as compds. per se or as pharmaceutically acceptable salts. The compds. and their salts can be employed as ingredients in pharmaceutical compns. (one example given), optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines. Methods of preventing, treating or delaying the onset of AIDS and methods of preventing or treating infection by HIV are also described. Although the methods of prepn. are not claimed, 27 example prepns. of I are included; all have IC50's <0.5 μM in a HIV integrase assay and all have IC95's <5 μM in an assay for inhibition of HIV replication. For I: L = linker connecting the C atom of the Ph ring to the N of the -NH- moiety = single bond, -(C1-6 alkyl)- (un)substituted with -C(O)N(RaRb), -(C0-3 alkyl)-C:C-(C1-3-alkyl)-, -(C0-3 alkyl)-C.tplbond.C-(C1-3-alkyl)-, or -(C0-6 alkyl)-(C3-6 cycloalkyl)-(C0-6-alkyl)-. R1a, R1b, and R1c = H, halogen, -C1-6 alkyl, or -C1-6 haloalkyl; R2a and R2b = H, -C1-6 (un)substituted alkyl, -O-C1-6 (un)substituted alkyl, -OH, halo, -NO2, -CN, -C(O)Ra, -CO2Ra, -S(O)nRa, -SO2N(RaRb), -N(RaRb), -C(O)N(RaRb), -N(Ra)SO2Rb, -OC(O)N(RaRb), -N(Ra)C(O)N(RaRb), -N(Ra)-C1-6-alkyl-C(O)N(RaRb), -N(Ra)-C(O)-C1-6 alkyl-N(RaRb), -N(Ra)C(O)-C(O)N(RaRb), -OCO2Ra, -N(Ra)-SO2N(RaRb), -N(Ra)-SO2-C1-6 alkyl-N(RaRb), -N(Ra)C(O)Rb, -N(Ra)CO2Rb, -S-C1-6 alkyl-C(O)N(RaRb),or -N(SO2Ra)-C1-6 alkyl-C(O)N(RaRb). R3 = H, -C1-6-(un)substituted alkyl, -S(O)nRa, -SO2N(RaRb), -C2-6 (un)substituted alkenyl, -C2-5 (un)substituted alkynyl, -Rk, -S(O)n-C1-6 alkyl-Rk, -N(Ra)C(O)-Rk, or -N(Ra)C(O)-C1-6 alkyl-Rk; each of R4 and R5 = H, -C1-6 (un)substituted alkyl, -SO2N(RaRb), or -C1-6 alkyl-Rm; each Ra and Rb = H, -C1-6 alkyl, or -C3-8 cycloalkyl; Rk is a carbocycle or a heterocycle; each Rm = a carbocycle or a heterocycle; each n = 0, 1 or 2; addnl. details including provisos are given in the claims.

IT 569353-94-2P, N-(4-Fluorobenzyl)-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569353-97-5P, 1-[2-[(Dimethylamino)sulfonyl]ethyl]-N-(4-fluorobenzyl)-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-02-5P,

1-[2-[(Dimethylamino)sulfonyl]ethyl]-N-[4-fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-04-7P, 1-[(1,4-Dioxan-2-yl)methyl]-N-(4-fluorobenzyl)-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-05-8P, 1-[(1,4-Dioxan-2-yl)methyl]-N-[4-fluoro-2-(methylthio)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-06-9P, 1-[(1,4-Dioxan-2-yl)methyl]-N-[4-fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-07-0P, N-(4-Fluorobenzyl)-4-hydroxy-1-[2-[(methyl)(methylsulfonyl)amino]ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-19-4P, N-[4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-1-[2-[(methyl)(methylsulfonyl)amino]ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-21-8P, 569354-24-1P, N-[4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-1-[2-(methylsulfonyl)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-26-3P, 1-Ethyl-N-[4-fluoro-2-(methylthio)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-28-5P,

1-Ethyl-N-[4-fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-30-9P,

N-[4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-1-methyl-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-32-1P,

N-(4-Fluorobenzyl)-4-hydroxy-1-[2-(methylsulfinyl)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-34-3P,

N-(4-Fluorobenzyl)-4-hydroxy-1-[2-(methylsulfonyl)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-35-4P,

N-(4-Fluorobenzyl)-4-hydroxy-1-[2-(morpholin-4-yl)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-36-5P,

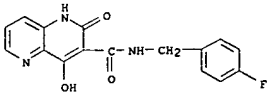
N-(4-Fluorobenzyl)-4-hydroxy-2-oxo-1-[2-(1H-1,2,4-triazol-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-37-6P,

N-(4-Fluorobenzyl)-4-hydroxy-2-oxo-1-[2-(1H-1,2,4-triazol-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide trifluoroacetate 569354-39-8P, 1-[2-(Dimethylamino)-2-oxoethyl]-N-[4-fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-44-5P, N-[4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-45-6P, N-[4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-1-(2-methoxyethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-46-7P, 1-Benzyl-N-[4-fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-47-8P, 1-[2-[[(Dimethylamino)sulfonyl](methyl)amino]ethyl]-N-[4-fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-54-7P, N-[4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-1-[2-(4-methylpiperazin-1-yl)-2-oxoethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-55-8P, N-[4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-1-[2-(morpholin-4-yl)-2-oxoethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-56-9P, N-[4-Fluoro-2-(methylsulfonyl)benzyl]-1-[2-[[(dimethylamino)carbonyl](methyl)amino]ethyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-57-0P,

1-Benzyl-N-[4-fluoro-2-[(methylamino)carbonyl]benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-67-2P, Sodium 1-benzyl-3-[[[4-fluoro-2-[(methylamino)carbonyl]benzyl]amino]carbonyl]-2-oxo-1,2-dihydro-1,5-naphthyridin-4-olate 569354-68-3P, N-[4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-2-oxo-1-(2-oxo-2-thiomorpholin-4-ylethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of hydroxynaphthyridinone carboxamides useful as HIV integrase inhibitors)

RN 569353-94-2 CAPLUS

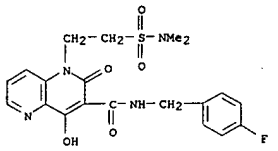
CN 1,5-Naphthyridine-3-carboxamide, N-[(4-fluorophenyl)methyl]-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)



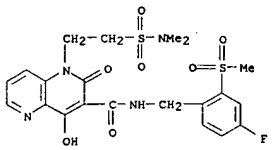
RN 569353-97-5 CAPLUS

CN 1,5-Naphthyridine-3-carboxamide, 1-[2-[(dimethylamino)sulfonyl]ethyl]-N-

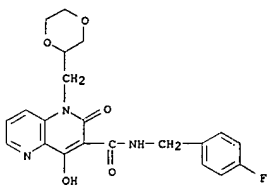
L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
[(4-fluorophenyl)methyl]-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)



RN 569354-02-5 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, 1-[2-[(dimethylamino)sulfonyl]ethyl]-N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)

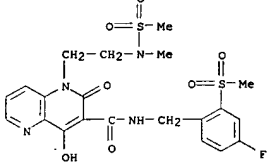


RN 569354-04-7 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, 1-(1,4-dioxan-2-ylmethyl)-N-[(4-fluorophenyl)methyl]-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)

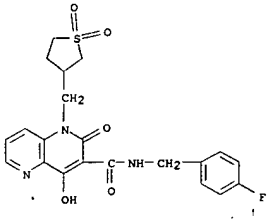


RN 569354-05-8 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
1-(1,4-dioxan-2-ylmethyl)-N-[[4-fluoro-2-(methylthio)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)

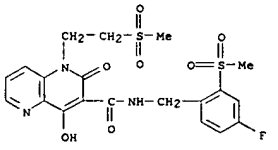
L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-1-[2-[methyl(methylsulfonyl)amino]ethyl]-2-oxo- (9CI) (CA INDEX NAME)



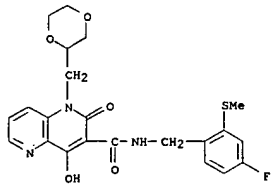
RN 569354-21-8 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
N-[(4-fluorophenyl)methyl]-1,2-dihydro-4-hydroxy-2-oxo-1-[(tetrahydro-1,1-dioxido-3-thienyl)methyl]- (9CI) (CA INDEX NAME)



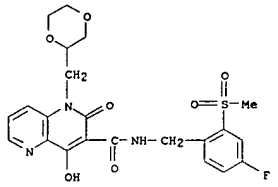
RN 569354-24-1 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-1-[2-(methylsulfonyl)ethyl]-2-oxo- (9CI) (CA INDEX NAME)



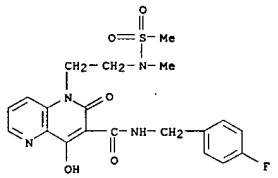
L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 569354-06-9 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
1-(1,4-dioxan-2-ylmethyl)-N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)



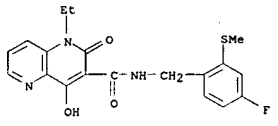
RN 569354-07-0 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
N-[(4-fluorophenyl)methyl]-1,2-dihydro-4-hydroxy-1-[2-[methyl(methylsulfonyl)amino]ethyl]-2-oxo- (9CI) (CA INDEX NAME)



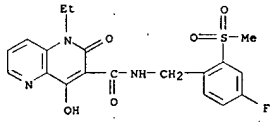
RN 569354-19-4 CAPLUS

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

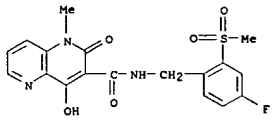
RN 569354-26-3 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, 1-ethyl-N-[[4-fluoro-2-(methylthio)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)



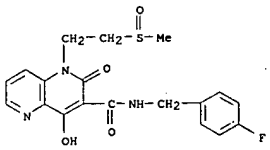
RN 569354-28-5 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, 1-ethyl-N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)



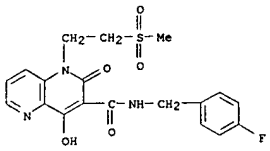
RN 569354-30-9 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-1-methyl-2-oxo- (9CI)
(CA INDEX NAME)



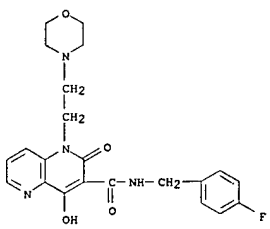
RN 569354-32-1 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
N-[(4-fluorophenyl)methyl]-1,2-dihydro-4-hydroxy-1-[2-(methylsulfinyl)ethyl]-2-oxo- (9CI) (CA INDEX NAME)



RN 569354-34-3 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
N-[(4-fluorophenyl)methyl]-1,2-dihydro-4-
hydroxy-1-[2-(methylsulfonyl)ethyl]-2-oxo- (9CI) (CA INDEX NAME)



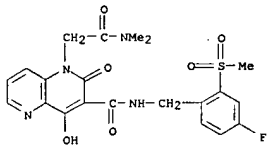
RN 569354-35-4 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
N-[(4-fluorophenyl)methyl]-1,2-dihydro-4-
hydroxy-1-[2-(4-morpholinyl)ethyl]-2-oxo- (9CI) (CA INDEX NAME)



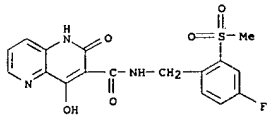
RN 569354-36-5 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
N-[(4-fluorophenyl)methyl]-1,2-dihydro-4-
hydroxy-2-oxo-1-[2-(1H-1,2,4-triazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 569354-39-8 CAPLUS
CN 1,5-Naphthyridine-1(2H)-acetamide, 3-[[[[4-fluoro-2-

(methylsulfonyl)phenyl]methyl]amino]carbonyl]-4-hydroxy-N,N-dimethyl-2-oxo-
(9CI) (CA INDEX NAME)

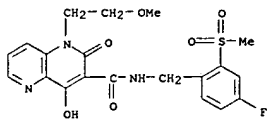


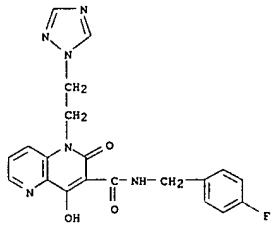
RN 569354-44-5 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-
(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA
INDEX NAME)



RN 569354-45-6 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-

(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-1-(2-methoxyethyl)-2-
oxo- (9CI) (CA INDEX NAME)

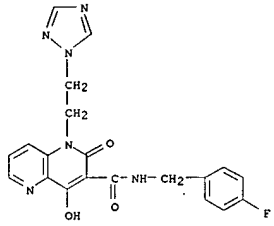




RN 569354-37-6 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
N-[(4-fluorophenyl)methyl]-1,2-dihydro-4-
hydroxy-2-oxo-1-[2-(1H-1,2,4-triazol-1-yl)ethyl]-, mono(trifluoroacetate)
(salt) (9CI) (CA INDEX NAME)

CM 1

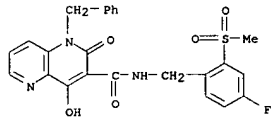
CRN 569354-36-5
CMF C20 H17 F N6 O3



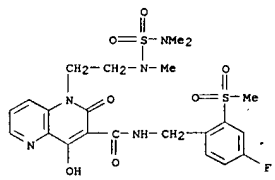
CM 2

CRN 76-05-1
CMF C2 H F3 O2

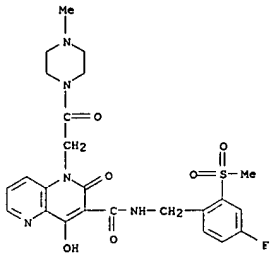
RN 569354-46-7 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-
(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo-1-
(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 569354-47-8 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
1-[2-[[(dimethylamino)sulfonyl]methylamin
o]ethyl]-N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-
hydroxy-2-oxo- (9CI) (CA INDEX NAME)



RN 569354-54-7 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-
(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-1-[2-(4-methyl-1-
piperazinyl)-2-oxoethyl]-2-oxo- (9CI) (CA INDEX NAME)



RN 569354-55-8 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-
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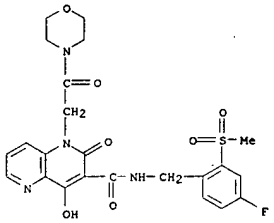
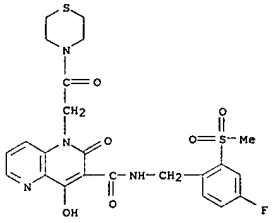
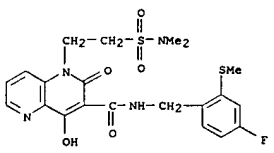


RN 569354-56-9 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
1-[2-[[(dimethylamino)carbonyl]methylamino]ethyl]-N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)



IT 569354-03-6P, 1-[2-[(Dimethylamino)sulfonyl]ethyl]-N-[4-fluoro-2-(methylthio)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-41-2P, Methyl [3-[[[4-fluoro-2-
(methylthio)benzyl]amino]carbonyl]-4-hydroxy-2-oxo-1,5-naphthyridin-1(2H)-yl]acetate 569354-42-3P, Methyl [3-[[[4-fluoro-2-(methylsulfonyl)benzyl]amino]carbonyl]-4-hydroxy-2-oxo-1,5-naphthyridin-1(2H)-yl]acetate 569354-43-4P, [3-[[[4-fluoro-2-(methylsulfonyl)benzyl]amino]carbonyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridin-1(2H)-yl]acetic acid 569354-52-5P, Benzyl
[2-[3-[[[4-fluoro-2-(methylsulfonyl)benzyl]amino]carbonyl]-4-hydroxy-2-oxo-1,5-naphthyridin-1(2H)-yl]ethyl](methyl)carbamate 569354-53-6P,
N-[4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-1-[2-(methylamino)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of hydroxynaphthyridinone carboxamides useful as HIV integrase
inhibitors)

RN 569354-03-6 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, 1-[2-[(dimethylamino)sulfonyl]ethyl]-N-[[4-fluoro-2-(methylthio)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo- (9CI)
(CA INDEX NAME)



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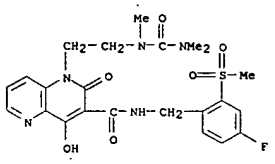
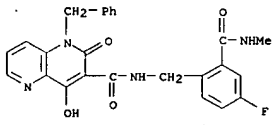
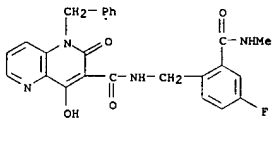


RN 569354-57-0 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



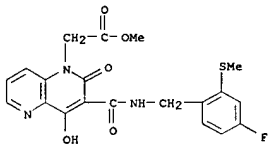
RN 569354-67-2 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo-1-(phenylmethyl)-, monosodium salt (9CI) (CA INDEX NAME)



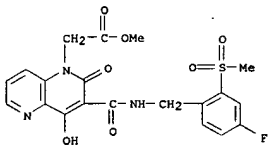
● Na

RN 569354-68-3 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo-1-[2-oxo-2-(4-thiomorpholinyl)ethyl]- (9CI) (CA INDEX NAME)

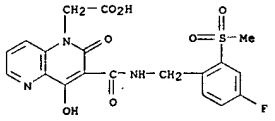
CN 1,5-Naphthyridine-1(2H)-acetic acid, 3-[[[[4-fluoro-2-(methylthio)phenyl]methyl]amino]carbonyl]-4-hydroxy-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



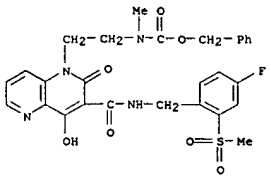
RN 569354-42-3 CAPLUS
CN 1,5-Naphthyridine-1(2H)-acetic acid, 3-[[[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]amino]carbonyl]-4-hydroxy-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



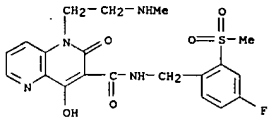
RN 569354-43-4 CAPLUS
CN 1,5-Naphthyridine-1(2H)-acetic acid, 3-[[[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]amino]carbonyl]-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)



RN 569354-52-5 CAPLUS
CN Carbamic acid,
[2-[3-[[[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]amino]carbonyl]-4-hydroxy-2-oxo-1,5-naphthyridin-1(2H)-yl]ethyl]methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 569354-53-6 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-1-[2-(methylamino)ethyl]-2-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

=> fil reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	15.79	182.94

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.25	-2.25

FILE 'REGISTRY' ENTERED AT 11:07:02 ON 27 DEC 2006

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

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DICTIONARY FILE UPDATES: 26 DEC 2006 HIGHEST RN 916310-60-6

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http://www.cas.org/ONLINE/UG/regprops.html

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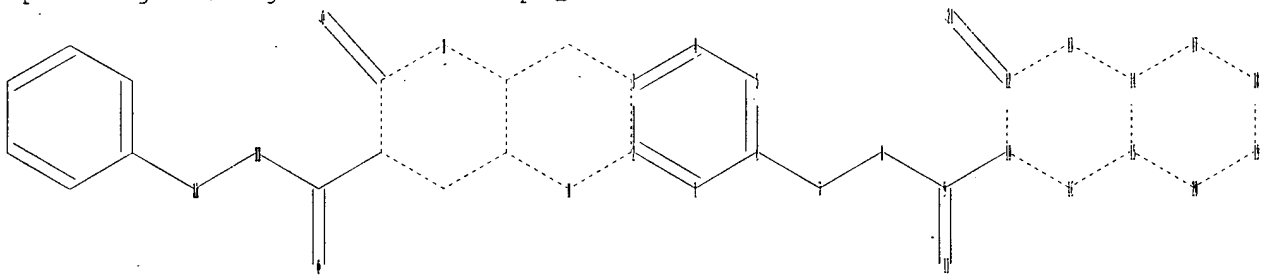

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ring nodes :
1 2 3 4 5 6 10 12 13 14 15 16 17 18 19 20
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ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-12 10-16 12-13 13-14 14-15 14-17 15-16 15-20 17-18 18-19 19-20
exact/norm bonds :
6-7 7-8 8-9 9-11 10-12 10-16 12-13 12-21 13-14 14-15 14-17 15-16 15-20 17-18 18-19 19-20

exact bonds :
9-10
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom
11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
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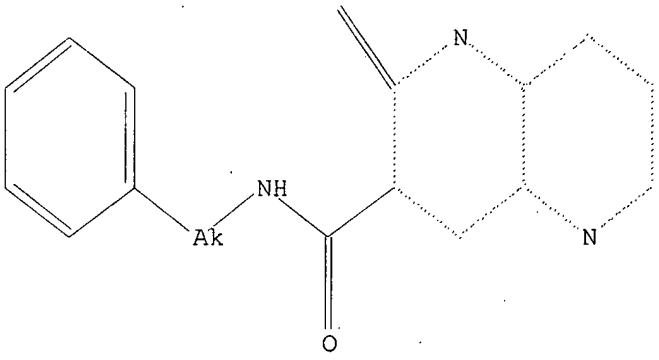

Structure attributes must be viewed using STN Express query preparation.

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FULL FILE PROJECTIONS: ONLINE **COMPLETE**
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PROJECTED ITERATIONS: 736 TO 1664
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L6 2 SEA SSS SAM L5

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SEARCH TIME: 00.00.01

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L7             44 SEA SSS FUL L5

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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FILE 'CAPLUS' ENTERED AT 11:07:57 ON 27 DEC 2006
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FILE COVERS 1907 - 27 Dec 2006  VOL 146 ISS 1
FILE LAST UPDATED: 26 Dec 2006  (20061226/ED)

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L8             6 L7

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L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:902736 CAPLUS
DOCUMENT NUMBER: 143:248371
TITLE: Preparation of naphthyridinecarboxamides as HIV integrase inhibitors
INVENTOR(S): Johns, Brian Alvin; Boros, Eric Eugene; Kawasuji, Takashi; Koble, Cecilia S.; Kurose, Noriyuki; Murai, Hitoshi; Sherrill, Ronald George; Weatherhead, Jason Gordon
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA; Shionogi & Co., Ltd
SOURCE: PCT Int. Appl., 447 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005077050	A2	20050825	WO 2005-US4085	20050210
WO 2005077050	A3	20061123		
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005211733	A1	20050825	AU 2005-211733	20050210
CA 2555176	A1	20050825	CA 2005-2555176	20050210
EP 1720856	A2	20061115	EP 2005-726489	20050210
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
NO 2006003958	A	20060922	NO 2006-3958	20060905
PRIORITY APPLN. INFO.:			US 2004-543670P	P 20040211
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OTHER SOURCE(S): MARPAT 143:248371
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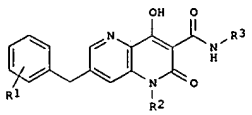
L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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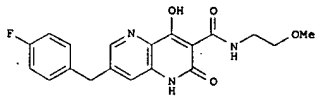
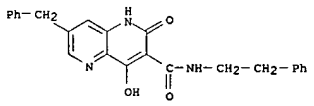


II

AB The title compds. I [R1 = H, OH, CN, etc.; R2 = H, alkyl, haloalkyl, etc.; R3 = H, OH, alkyl, etc.; and their pharmaceutically acceptable salts] that are HIV integrase inhibitors and therefore are useful in the inhibition of HIV replication, the prevention and/or treatment of infection by HIV, and in the treatment of AIDS and/or ARC, were prepared E.g., a multi-step synthesis of II, starting from 1-fluoro-4-iodobenzene and allyl alc., was given. The compds. I exhibited anti-HIV activity in two biol. assays in the range IC50 = 1-1000 nM. For example, II showed IC50 of < 10 nM in MT4 cell assay. The pharmaceutical compns. comprising the compound I alone or in combination with other therapeutic agent, were disclosed.

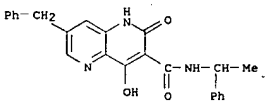
IT 863432-72-8P 863432-73-9P 863438-97-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of naphthyridinecarboxamides as HIV integrase inhibitors)

RN 863432-72-8 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, 1,2-dihydro-4-hydroxy-2-oxo-N-(2-phenylethyl)-7-(phenylmethyl)- (9CI) (CA INDEX NAME)

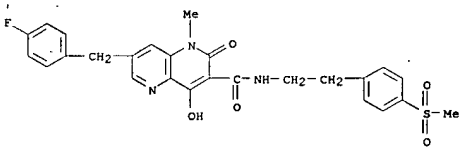


RN 863432-73-9 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, 1,2-dihydro-4-hydroxy-2-oxo-N-(1-phenylethyl)-7-(phenylmethyl)- (9CI) (CA INDEX NAME)

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 863438-97-5 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, 7-[(4-fluorophenyl)methyl]-1,2-dihydro-4-hydroxy-1-methyl-N-[2-[4-(methylsulfonyl)phenyl]ethyl]-2-oxo- (9CI) (CA INDEX NAME)



L8 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:252486 CAPLUS
DOCUMENT NUMBER: 140:287278
TITLE: Preparation of quinoline and naphthyridine derivatives as HIV integrase inhibitors
INVENTOR(S): Murai, Hitoshi; Endo, Takeshi; Kurose, Noriyuki; Taishi, Teruhiko; Yoshida, Hiroshi
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 396 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024693	A1	20040325	WO 2003-JP10212	20030811
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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EP 1541558	A1	20050615	EP 2003-795216	20030811
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US 2006128669	A1	20060615	US 2005-524281	20050210
US 2006247212	A1	20061102	US 2006-478218	20060630
PRIORITY APPLN. INFO.:			JP 2002-235582	A 20020813
			JP 2002-245772	A 20020826
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			WO 2003-JP10212	W 20030811
			US 2005-524281	A3 20050210

OTHER SOURCE(S): MARPAT 140:287278
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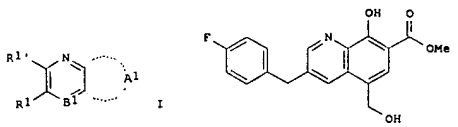
L8 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

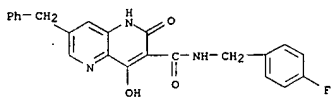


I II

AB The title compds. I [wherein B1 = N or (un)substituted CH; R1 = H, (un)substituted alkyl, alkenyl, etc.; R1' = H, halo, NO2, OH, CO2H, (un)substituted alkoxycarbonyl, alkyl, alkoxy, etc.; A1 = (un)substituted -CH=CH-CH=CH-, -CH=CH-CH=N-, -CH=CH-N=CH-, -CH=CH-O-CH2-, -CH=CH-CH2-O-, or -CH=CH-O-] or prodrugs, solvates, or pharmaceutically acceptable salts thereof are prepared as HIV integrase inhibitors. For example, the compound II was prepared in a multi-step synthesis. II showed inhibitory activity with IC50 of 0.071 μg/mL against integrase. Formulations containing I as an active ingredient were also described.

IT 675614-22-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinoline and naphthyridine derivs. as HIV integrase inhibitors)

RN 675614-22-9 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, N-[(4-fluorophenyl)methyl]-1,2-dihydro-4-hydroxy-2-oxo-7-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:591151 CAPLUS
DOCUMENT NUMBER: 139:133554
TITLE: Preparation of hydroxynaphthyridinone carboxamides useful as HIV integrase inhibitors
INVENTOR(S): Egbertson, Melissa; Melamed, Jeffrey Y.; Langford, H. Marie; Young, Steven D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062204	A1	20030731	WO 2003-US813	20030113
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2472372	A1	20030731	CA 2003-2472372	20030113
EP 1467970	A1	20041020	EP 2003-731906	20030113
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US 2005119482	A1	20050602	US 2003-500972	20030113
JP 2005519907	T	20050707	JP 2003-562086	20030113

PRIORITY APPLN. INFO.: US 2002-349775P P 20020117
WO 2003-US813 W 20030113

OTHER SOURCE(S): MARPAT 139:133554
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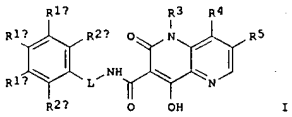

I

AB Hydroxynaphthyridinone carboxamides (shown as I; variables defined below; e.g. N-(4-fluorobenzyl)-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide) are described as inhibitors of HIV integrase and inhibitors of HIV replication. These compds. are useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS. The compds. were employed against HIV infection and AIDS as compds. per se or as pharmaceutically acceptable salts. The compds. and their salts can be employed as ingredients in pharmaceutical compns. (one example given), optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines. Methods of preventing, treating or delaying the onset of AIDS and methods of preventing or treating infection by HIV are also described. Although the methods of prepn. are not claimed, 27 example prepns. of I are included; all have IC50's <0.5 μM in a HIV integrase assay and all have IC95's <5 μM in an assay for inhibition of HIV replication. For I: L = linker connecting the C atom of the Ph ring to the N of the -NH- moiety = single bond, -(C1-6 alkyl)- (un)substituted with -C(O)N(RaRb), -(C0-3 alkyl)-C:C-(C1-3-alkyl)-, -(C0-3 alkyl)-C.tplbond.C-(C1-3-alkyl)-, or -(C0-6 alkyl)-(C3-6 cycloalkyl)-(C0-6-alkyl)-. R1a, R1b, and R1c = H, halogen, -C1-6 alkyl, or -C1-6 haloalkyl; R2a and R2b = H, -C1-6 (un)substituted alkyl, -O-C1-6 (un)substituted alkyl, -OH, halo, -NO2, -CN, -C(O)Ra, -CO2Ra, -S(O)nRa, -SO2N(RaRb), -N(RaRb), -C(O)N(RaRb), -N(Ra)SO2Rb, -OC(O)N(RaRb), -N(Ra)C(O)N(RaRb), -N(Ra)-C1-6-alkyl-C(O)N(RaRb), -N(Ra)-C(O)-C1-6 alkyl-N(RaRb), -N(Ra)C(O)-C(O)N(RaRb), -OCO2Ra, -N(Ra)-SO2N(RaRb), -N(Ra)-SO2-C1-6 alkyl-N(RaRb), -N(Ra)C(O)Rb, -N(Ra)CO2Rb, -S-C1-6 alkyl-C(O)N(RaRb),or -N(SO2Ra)-C1-6 alkyl-C(O)N(RaRb). R3 = H, -C1-6-(un)substituted alkyl, -S(O)nRa, -SO2N(RaRb), -C2-6 (un)substituted alkenyl, -C2-5 (un)substituted alkynyl, -Rk, -S(O)n-C1-6 alkyl-Rk, -N(Ra)C(O)-Rk, or -N(Ra)C(O)-C1-6 alkyl-Rk; each of R4 and R5 = H, -C1-6 (un)substituted alkyl, -SO2N(RaRb), or -C1-6 alkyl-Rm; each Ra and Rb = H, -C1-6 alkyl, or -C3-8 cycloalkyl; Rk is a carbocycle or a heterocycle; each Rm = a carbocycle or a heterocycle; each n = 0, 1 or 2; addnl. details including provisos are given in the claims.

IT 569353-94-2P, N-(4-Fluorobenzyl)-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569353-97-5P, 1-[2-[(Dimethylamino)sulfonyl]ethyl]-N-(4-fluorobenzyl)-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-02-5P,

1-[2-[(Dimethylamino)sulfonyl]ethyl]-N-[4-fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-04-7P, 1-[(1,4-Dioxan-2-yl)methyl]-N-(4-fluorobenzyl)-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-05-8P, 1-[(1,4-Dioxan-2-yl)methyl]-N-[4-fluoro-2-(methylthio)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-06-9P, 1-[(1,4-Dioxan-2-yl)methyl]-N-[4-fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-07-0P, N-(4-Fluorobenzyl)-4-hydroxy-1-[2-[(methyl)(methylsulfonyl)amino]ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-19-4P, N-[4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-1-[2-[(methyl)(methylsulfonyl)amino]ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-21-8P 569354-24-1P, N-[4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-1-[2-(methylsulfonyl)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-26-3P, 1-Ethyl-N-[4-fluoro-2-(methylthio)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-28-5P,

1-Ethyl-N-[4-fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-30-9P,

N-[4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-1-methyl-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-32-1P,

N-(4-Fluorobenzyl)-4-hydroxy-1-[2-(methylsulfinyl)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-34-3P,

N-(4-Fluorobenzyl)-4-hydroxy-1-[2-(methylsulfonyl)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-35-4P,

N-(4-Fluorobenzyl)-4-hydroxy-1-[2-(morpholin-4-yl)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-36-5P,

N-(4-Fluorobenzyl)-4-hydroxy-2-oxo-1-[2-(1H-1,2,4-triazol-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-37-6P,

N-(4-Fluorobenzyl)-4-hydroxy-2-oxo-1-[2-(1H-1,2,4-triazol-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide trifluoroacetate 569354-39-8P, 1-[2-(Dimethylamino)-2-oxoethyl]-N-[4-fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-44-5P, N-[4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-45-6P, N-[4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-1-(2-methoxyethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-46-7P, 1-Benzyl-N-[4-fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-47-8P, 1-[2-[[(Dimethylamino)sulfonyl](methyl)amino]ethyl]-N-[4-fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-54-7P, N-[4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-1-[2-(4-methylpiperazin-1-yl)-2-oxoethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-55-8P, N-[4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-1-[2-(morpholin-4-yl)-2-oxoethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-56-9P, N-[4-Fluoro-2-(methylsulfonyl)benzyl]-1-[2-[[(dimethylamino)carbonyl](methyl)amino]ethyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-57-0P,

1-Benzyl-N-[4-fluoro-2-[(methylamino)carbonyl]benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-67-2P, Sodium 1-benzyl-3-[[[4-fluoro-2-[(methylamino)carbonyl]benzyl]amino]carbonyl]-2-oxo-1,2-dihydro-1,5-naphthyridin-4-olate 569354-68-3P, N-[4-fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-2-oxo-1-(2-oxo-2-thiomorpholin-4-ylethyl)-1,2-dihydro-1,5-naphthyridine-3-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of hydroxynaphthyridinone carboxamides useful as HIV integrase inhibitors)

RN 569353-94-2 CAPLUS
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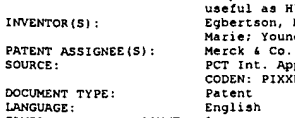

RN 569353-97-5 CAPLUS
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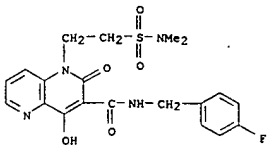
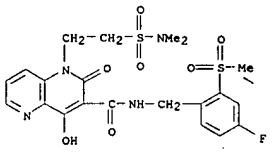
[(4-fluorophenyl)methyl]-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)



RN 569354-02-5 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, 1-[2-[(dimethylamino)sulfonyl]ethyl]-N-[(4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)



RN 569354-04-7 CAPLUS
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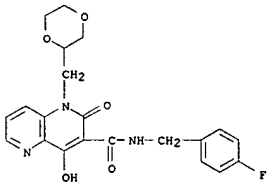
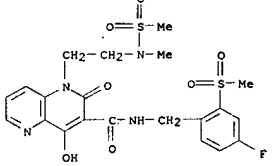
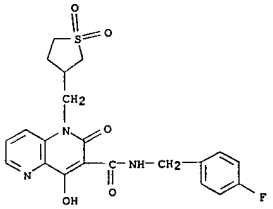


RN 569354-05-8 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
1-(1,4-dioxan-2-ylmethyl)-N-[(4-fluoro-2-(methylthio)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)

CN 1,5-Naphthyridine-3-carboxamide, N-[(4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-1-[2-[methyl(methylsulfonyl)amino]ethyl]-2-oxo- (9CI) (CA INDEX NAME)



RN 569354-21-8 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
N-[(4-fluorophenyl)methyl]-1,2-dihydro-4-hydroxy-2-oxo-1-[(tetrahydro-1,1-dioxido-3-thienyl)methyl]- (9CI) (CA INDEX NAME)



RN 569354-24-1 CAPLUS
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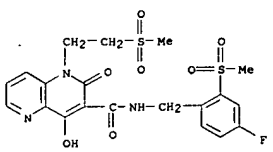

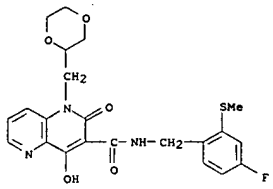

RN 569354-06-9 CAPLUS
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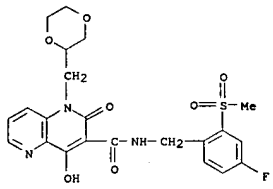

RN 569354-07-0 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
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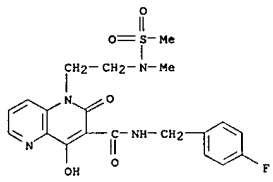

RN 569354-19-4 CAPLUS

RN 569354-26-3 CAPLUS
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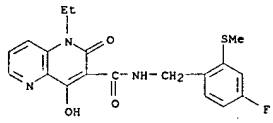
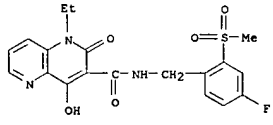
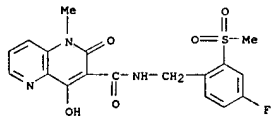


RN 569354-28-5 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, 1-ethyl-N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)



RN 569354-30-9 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-1-methyl-2-oxo- (9CI)
(CA INDEX NAME)



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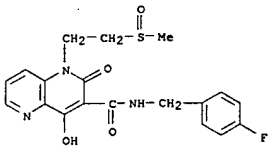

RN 569354-34-3 CAPLUS
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N-[(4-fluorophenyl)methyl]-1,2-dihydro-4-
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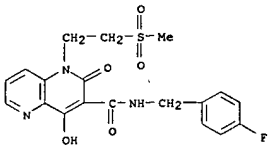

RN 569354-35-4 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
N-[(4-fluorophenyl)methyl]-1,2-dihydro-4-
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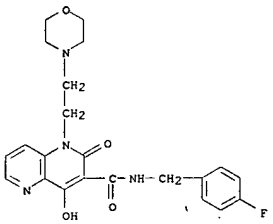

RN 569354-36-5 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
N-[(4-fluorophenyl)methyl]-1,2-dihydro-4-
hydroxy-2-oxo-1-[2-(1H-1,2,4-triazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 569354-39-8 CAPLUS
CN 1,5-Naphthyridine-1(2H)-acetamide, 3-[[[[4-fluoro-2-

(methylsulfonyl)phenyl]methyl]amino]carbonyl]-4-hydroxy-N,N-dimethyl-2-oxo-
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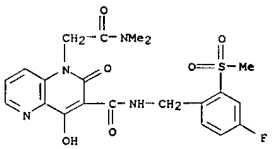

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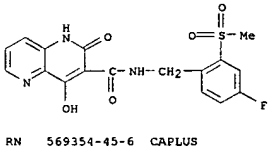
INDEX NAME)



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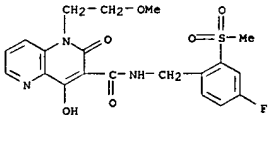
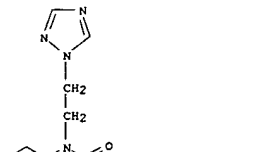
oxo- (9CI) (CA INDEX NAME)

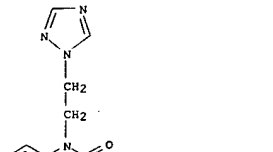




RN 569354-37-6 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
N-[(4-fluorophenyl)methyl]-1,2-dihydro-4-
hydroxy-2-oxo-1-[2-(1H-1,2,4-triazol-1-yl)ethyl]-, mono(trifluoroacetate)
(salt) (9CI) (CA INDEX NAME)

CM 1

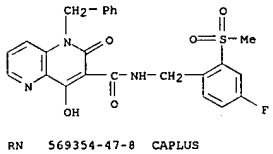
CRN 569354-36-5
CMF C20 H17 F N6 O3



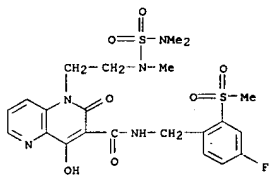
CM 2

CRN 76-05-1
CMF C2 H F3 O2

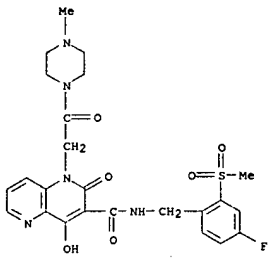
RN 569354-46-7 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-
(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo-1-
(phenylmethyl)- (9CI) (CA INDEX NAME)



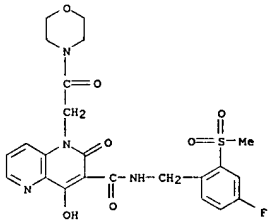
RN 569354-47-8 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
1-[2-[[(dimethylamino)sulfonyl]methylamin
o]ethyl]-N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-
hydroxy-2-oxo- (9CI) (CA INDEX NAME)



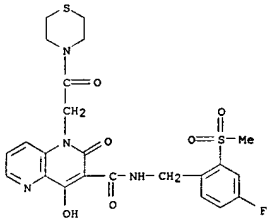
RN 569354-54-7 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-
(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-1-[2-(4-methyl-1-
piperazinyl)-2-oxoethyl]-2-oxo- (9CI) (CA INDEX NAME)



RN 569354-55-8 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-
(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-1-[2-(4-morpholinyl)-2-oxoethyl]-2-oxo- (9CI) (CA INDEX NAME)

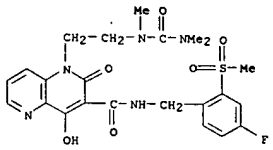


RN 569354-56-9 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
1-[2-[[(dimethylamino)carbonyl]methylamino]ethyl]-N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)

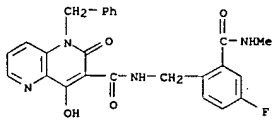


IT 569354-03-6P, 1-[2-[(Dimethylamino)sulfonyl]ethyl]-N-[4-fluoro-2-(methylthio)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-41-2P, Methyl [3-[[[4-fluoro-2-
(methylthio)benzyl]amino]carbonyl]-4-hydroxy-2-oxo-1,5-naphthyridin-1(2H)-yl]acetate 569354-42-3P, Methyl [3-[[[4-fluoro-2-(methylsulfonyl)benzyl]amino]carbonyl]-4-hydroxy-2-oxo-1,5-naphthyridin-1(2H)-yl]acetate 569354-43-4P, [3-[[[4-Fluoro-2-(methylsulfonyl)benzyl]amino]carbonyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridin-1(2H)-yl]acetic acid 569354-52-5P, Benzyl
[2-[3-[[[4-fluoro-2-(methylsulfonyl)benzyl]amino]carbonyl]-4-hydroxy-2-oxo-1,5-naphthyridin-1(2H)-yl]ethyl](methyl)carbamate 569354-53-6P,
N-[4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-1-[2-(methylamino)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-66-1P,
1-Benzyl-4-(benzyloxy)-N-[4-fluoro-2-[(methylamino)carbonyl]benzyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of hydroxynaphthyridinone carboxamides useful as HIV integrase
inhibitors)

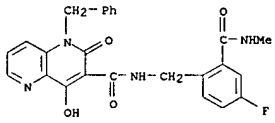
RN 569354-03-6 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, 1-[2-[(dimethylamino)sulfonyl]ethyl]-N-[[4-fluoro-2-(methylthio)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo- (9CI)
(CA INDEX NAME)



RN 569354-57-0 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

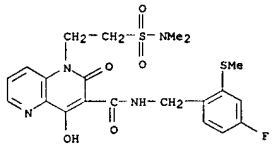


RN 569354-67-2 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo-1-(phenylmethyl)-, monosodium salt (9CI) (CA INDEX NAME)

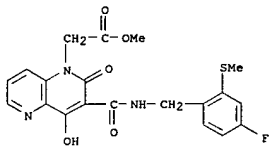


● Na

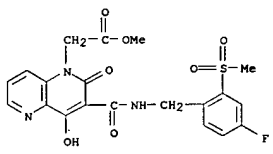
RN 569354-68-3 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo-1-[2-oxo-2-(4-thiomorpholinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 569354-41-2 CAPLUS
CN 1,5-Naphthyridine-1(2H)-acetic acid, 3-[[[[4-fluoro-2-(methylthio)phenyl]methyl]amino]carbonyl]-4-hydroxy-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

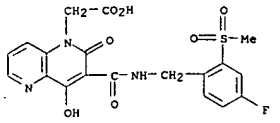


RN 569354-42-3 CAPLUS
CN 1,5-Naphthyridine-1(2H)-acetic acid, 3-[[[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]amino]carbonyl]-4-hydroxy-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

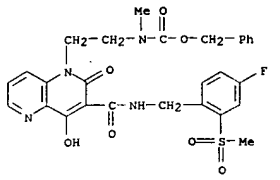


RN 569354-43-4 CAPLUS
CN 1,5-Naphthyridine-1(2H)-acetic acid, 3-[[[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]amino]carbonyl]-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)

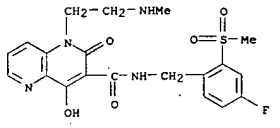
L8 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 569354-52-5 CAPLUS
CN Carbamic acid,
[2-[3-[[[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]amino]carbonyl]-4-hydroxy-2-oxo-1,5-naphthyridin-1(2H)-yl]ethyl]methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

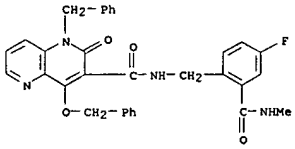


RN 569354-53-6 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-1-[2-(methylamino)ethyl]-2-oxo- (9CI) (CA INDEX NAME)



RN 569354-66-1 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-1,2-dihydro-2-oxo-4-(phenylmethoxy)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

L8 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1979:186973 CAPLUS
DOCUMENT NUMBER: 90:186973
TITLE: 3-Heterocyclic thiomethyl 7-methoxy-7 substituted acetamido cephalosporins
INVENTOR(S): Yamade, Hirotada; Nakagome, Takenari; Komatsu, Toshiaki
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: U.S., 20 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4125611	A	19781114	US 1976-745749	19761129
JP 52068193	A	19770606	JP 1975-142647	19751128
AU 7620018	A	19780601	AU 1976-20018	19761125
HU 173394	B	19790428	HU 1976-SU933	19761125
DK 7605354	A	19770529	DK 1976-5354	19761126
SE 7613304	A	19770529	SE 1976-13304	19761126
NL 7613206	A	19770601	NL 1976-13206	19761126
NO 7604054	A	19770601	NO 1976-4054	19761126
ZA 7607088	A	19771026	ZA 1976-7088	19761126
AT 7608798	A	19790215	AT 1976-8798	19761126
AT 352273	B	19790910		
CA 1086716	A1	19800930	CA 1976-266656	19761126
CH 625527	A5	19810930	CH 1976-14880	19761126
ES 453726	A1	19780116	ES 1976-453726	19761127
BE 848887	A1	19770316	BE 1976-172825	19761129
FR 2332758	A1	19770624	FR 1976-35943	19761129
FR 2332758	B1	19811127		
DD 128562	A5	19771123	DD 1976-196021	19761129
GB 1532866	A	19781122	GB 1976-49647	19761129
CS 212257	B2	19820326	CS 1976-7707	19761129
ES 463736	A1	19781216	ES 1977-463736	19771031
ES 463737	A1	19790101	ES 1977-463737	19771031
CS 212258	B2	19820326	CS 1978-3750	19780608
CS 212259	B2	19820326	CS 1978-3751	19780608
CS 212260	B2	19820326	CS 1978-3752	19780608
AT 7805817	A	19780215	AT 1978-5817	19780810
AT 352282	B	19790910		
AT 7805816	A	19790515	AT 1978-5816	19780810
AT 353970	B	19791210		
US 4226863	A	19801007	US 1978-937626	19780825
AT 7900006	A	19791015	AT 1979-6	19790102
AT 356817	B	19800527		

PRIORITY APPLN. INFO.: JP 1975-142647 A 19751128
AT 1976-8798 A 19761126
US 1976-745749 A3 19761129

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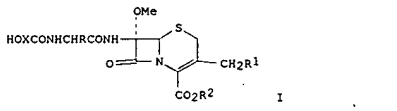
L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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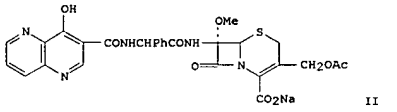


II

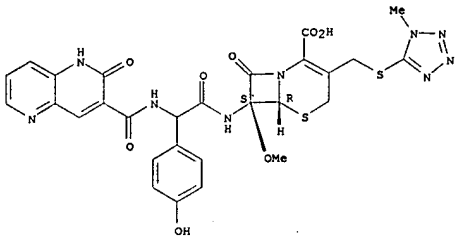
AB Cephalosporins I (X = optionally substituted N heterocycle; R = optionally substituted Ph; R1 = heterocyclylthio; R2 = H, protective group) were prepared Thus, 0.53 g II was obtained by treating 0.549 g of the aminophenylacetamidocephem with 0.287 g 4-hydroxy-1,5-naphthyridine-3-carboxylic acid N-hydroxysuccinimide ester and 0.332 g BuCHEtCO2Na. II had a min. inhibitory concentration against Escherichia coli NIHJ of 3.13 μg/mL.

IT 64152-45-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)

RN 64152-45-0 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[[(1,2-dihydro-2-oxo-1,5-naphthyridin-3-yl)carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-7-methoxy-3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, monosodium salt, [6R-(6α,7α)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



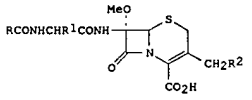
● Na

L8 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1978:136634 CAPLUS
DOCUMENT NUMBER: 88:136634
TITLE: 7α-Methoxycephalosporins
INVENTOR(S): Yamada, Hirotada; Nakagome, Takenari; Komatsu, Toshiaki
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52106886	A	19770907	JP 1976-23480	19760303
PRIORITY APPLN. INFO.:			JP 1976-23480 A	19760303

GI



I

AB Fifty-two antibacterial cephalosporins I (R = 4-hydroxy-1,5-naphthyridin-3-yl, 4-hydroxy-3-pyridyl, etc.; R1 = Ph, p-hydroxyphenyl, 2-thienyl, etc.; R2 = OAc, O2CNH2, pyridinio, 1-methyltetrazol-5-yl, etc.) were prepared by N-acylation or nucleophilic replacement. Thus, 7β-(D-2-amino-2-phenylacetamido)-7α-methoxycephalosporanic acid was acylated with N-hydroxysuccinimide ester of 4-hydroxy-1,5-naphthyridine-3-carboxylic acid and heated with C5H5N and KSCN in H2O to give I (R = 4-hydroxy-1,5-naphthyridin-3-yl, R1 = Ph), where R2 = OAc (Na salt) and pyridinio (hydrothiocyanate).

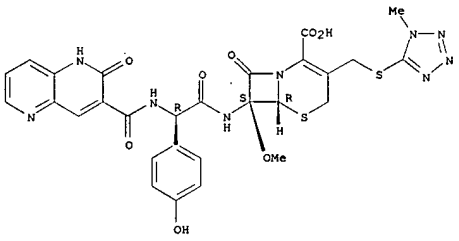
IT 65759-85-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal activity of)

RN 65759-85-5 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[[(1,2-dihydro-2-oxo-1,5-naphthyridin-3-yl)carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-7-methoxy-3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, monosodium salt, [6R-[6α,7α,7(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● Na

L8 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1977:552233 CAPLUS
DOCUMENT NUMBER: 87:152233
TITLE: 7-Methoxycephalosporins and their salts
INVENTOR(S): Yamada, Hirotada; Nakagome, Takenari; Komatsu, Toshiaki
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Ger. Offen., 75 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2653820	A1	19770602	DE 1976-2653820	19761126
JP 52068193	A	19770606	JP 1975-142647	19751128
AU 7620018	A	19780601	AU 1976-20018	19761125
HU 173394	B	19790428	HU 1976-SU933	19761125
DK 7605354	A	19770529	DK 1976-5354	19761126
SE 7613304	A	19770529	SE 1976-13304	19761126
NL 7613206	A	19770601	NL 1976-13206	19761126
NO 7604054	A	19770601	NO 1976-4054	19761126
ZA 7607088	A	19771026	ZA 1976-7088	19761126
AT 7608798	A	19790215	AT 1976-8798	19761126
AT 352273	B	19790910		
CA 1086716	A1	19800930	CA 1976-266656	19761126
CH 625527	A5	19810930	CH 1976-14880	19761126
ES 453726	A1	19780116	ES 1976-453726	19761127
BE 848887	A1	19770316	BE 1976-172825	19761129
FR 2332758	A1	19770624	FR 1976-35943	19761129
FR 2332758	B1	19811127		
DD 128562	A5	19771123	DD 1976-196021	19761129
GB 1532866	A	19781122	GB 1976-49647	19761129
CS 212257	B2	19820326	CS 1976-7707	19761129
ES 463736	A1	19781216	ES 1977-463736	19771031
ES 463737	A1	19790101	ES 1977-463737	19771031
CS 212258	B2	19820326	CS 1978-3750	19780608
CS 212259	B2	19820326	CS 1978-3751	19780608
CS 212260	B2	19820326	CS 1978-3752	19780608
AT 7805817	A	19780215	AT 1978-5817	19780810
AT 352282	B	19790910		
AT 7805816	A	19790515	AT 1978-5816	19780810
AT 353970	B	19791210		
AT 7900006	A	19791015	AT 1979-6	19790102
AT 356817	B	19800527		
PRIORITY APPLN. INFO.:			JP 1975-142647 A	19751128
			AT 1976-8798 A	19761126

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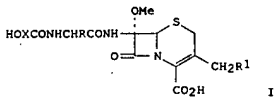

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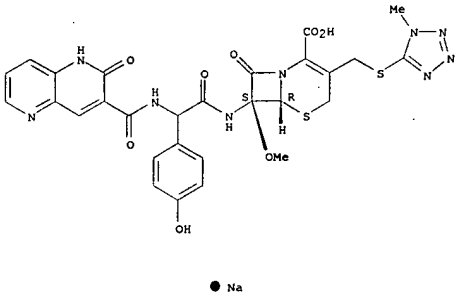
AB Cephalosporins I (X = N heterocycle, e.g., HOX = 4-hydroxy-1,5-naphthyridin-3-yl; R = Ph, substituted phenyl, 1,4-cyclohexadienyl, 2-thienyl; R1 = heterocyclylthio, OAc, pyridinium, O2CNH2)(53 compds.) were prepared e.g. by acylating the aminoacetamidocephems. I had min. inhibitory concns. against Escherichia coli NIHJ 0.78-25 ppm.

IT 64152-45-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)

RN 64152-45-0 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[[(1,2-dihydro-2-oxo-1,5-naphthyridin-3-yl)carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-7-methoxy-3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, monosodium salt, [6R-(6α,7α)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	31.12	381.44

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.50	-6.75

STN INTERNATIONAL LOGOFF AT 11:08:16 ON 27 DEC 2006